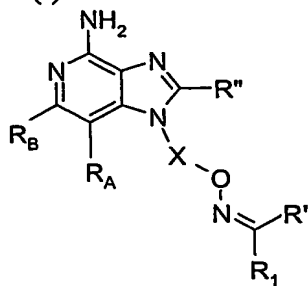


## WHAT IS CLAIMED IS:

1. A compound of formula (I)



I

5 wherein:

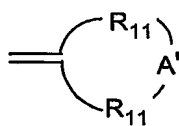
X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>1</sub> and R' are independently selected from the group consisting of:

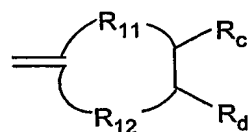
- 10 hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
15 heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
20 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
hydroxyl,  
alkyl,  
haloalkyl,  
25 hydroxyalkyl,  
alkoxy,

5 dialkylamino,  
 -S(O)<sub>0-2</sub>-alkyl,  
 -S(O)<sub>0-2</sub>-aryl,  
 -NH-S(O)<sub>2</sub>-alkyl,  
 -NH-S(O)<sub>2</sub>-aryl,  
 haloalkoxy,  
 halogen,  
 nitrile,  
 nitro,  
 10 aryl,  
 heteroaryl,  
 heterocyclyl,  
 aryloxy,  
 arylalkyleneoxy,  
 15 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-C(O)-alkyl, and  
 -C(O)-alkyl;

20 or R<sub>1</sub> and R' can join together to form a ring system selected from the group  
 consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

25 R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:

hydrogen,  
 halogen,  
 alkyl,  
 alkenyl,  
 5 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein  
 10 the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

15 halogen,  
 hydroxyl,  
 alkyl,  
 alkenyl,  
 haloalkyl,  
 20 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

25 Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or

R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

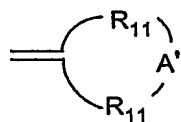
each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R" is hydrogen or a non-interfering substituent; and

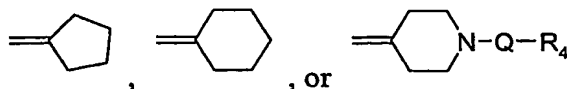
each R'" is a non-interfering substituent;  
or a pharmaceutically acceptable salt thereof.

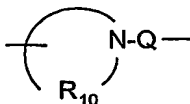
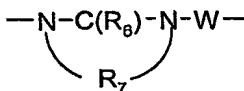
2. The compound or salt of claim 1 wherein X is  $-\text{CH}(\text{R}_{9a})\text{-alkylene-}$ , wherein the alkylene is optionally interrupted by one or more  $-\text{O}-$  groups.
3. The compound or salt of claim 2 wherein X is  $-\text{C}_{3-5}\text{ alkylene-}$  or  $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{-}$ .
4. The compound or salt of any one of claims 1 through 3 wherein at least one of  $\text{R}'$  or  $\text{R}_1$  is hydrogen.
5. The compound or salt of any one of claims 1 through 3 wherein at least one of  $\text{R}'$  or  $\text{R}_1$  is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.
6. The compound or salt of claim 5 wherein at least one of  $\text{R}'$  or  $\text{R}_1$  is aryl or substituted aryl and at least one of  $\text{R}'$  or  $\text{R}_1$  is hydrogen.
7. The compound or salt of claim 5 wherein at least one of  $\text{R}'$  or  $\text{R}_1$  is heteroaryl or substituted heteroaryl and at least one of  $\text{R}'$  or  $\text{R}_1$  is hydrogen.
8. The compound or salt of any one of claims 1 through 3 wherein  $\text{R}_1$  and  $\text{R}'$  join together to form a ring system of the formula

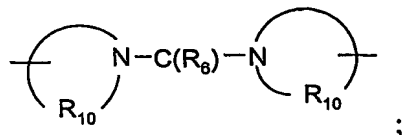
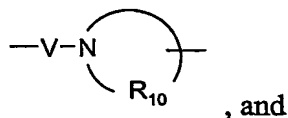
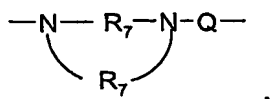


, wherein  $\text{A}'$  is  $-\text{N}(-\text{Q}-\text{R}_4)\text{-}$  or  $-\text{CH}_2\text{-}$ ,  $\text{Q}$  is a bond or  $-\text{C}(\text{O})\text{-}$ , and  $\text{R}_4$  is alkyl.

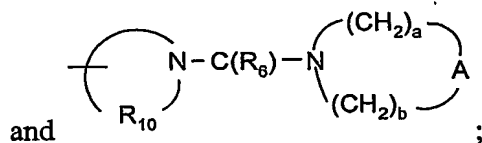
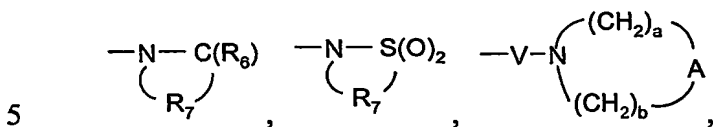
9. The compound or salt of claim 8 wherein the ring system is



10. The compound or salt of any one of claims 1 through 3 wherein R<sub>1</sub> and R' are each methyl.
11. The compound or salt of any one of claims 1 through 10 wherein:
- 5 R" is selected from the group consisting of:
- R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>, and  
-X'-R<sub>5</sub>;
- 10 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-
- 15 Y is selected from the group consisting of:
- S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
20 -O-C(R<sub>6</sub>)-,  
-O-C(O)-O-,  
-N(R<sub>8</sub>)-Q-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
25 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,
- ,  
.



R<sub>5</sub> is selected from the group consisting of:



each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and  
 10 -N(R<sub>4</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,  
 -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤  
 7.

15

12. The compound or salt of any one of claims 1 through 10 wherein R" is  
 hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y  
 is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-, and R<sub>4</sub> is alkyl.

20

13. The compound or salt of claim 12 wherein R" is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

14. The compound or salt of claim 13 wherein R" is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

15. The compound or salt of any one of claims 1 through 10 wherein R" is selected from the group consisting of:

hydrogen,  
alkyl,  
alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and  
alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and



-C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)<sub>0-2</sub>-; and

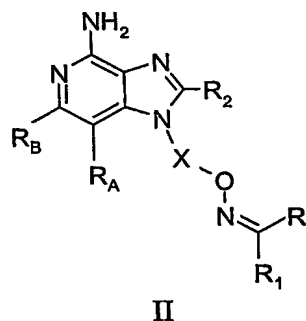
each R<sub>8a</sub> is independently selected from the group consisting of  
5 hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

16. The compound or salt of any one of claims 1 through 15 wherein R<sub>A</sub> and R<sub>B</sub>  
form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring or  
heteroaryl ring is unsubstituted.

10

17. The compound or salt of any one of claims 1 through 15 wherein R<sub>A</sub> and R<sub>B</sub>  
form a fused 5 to 7 membered saturated ring, optionally containing one N, wherein  
the saturated ring is unsubstituted.

15 18. A compound of the formula (II):



wherein:

20 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
-CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally  
interrupted by one or more -O- groups;

R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,  
25 alkyl,  
alkenyl,

aryl,  
arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,  
5 heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
10 hydroxyl,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
15 dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
20 haloalkoxy,  
halogen,  
nitrile,  
nitro,  
aryl,  
25 heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
30 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,

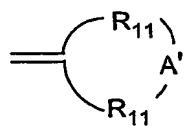
-N(R<sub>8</sub>)-C(O)-alkyl,

-O-C(O)-alkyl, and

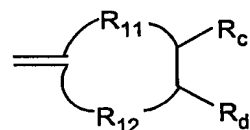
-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group

5 consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:

hydrogen,

10 halogen,

alkyl,

alkenyl,

alkoxy,

alkylthio, and

15 -N(R<sub>9</sub>)<sub>2</sub>;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R<sub>3</sub> group, or substituted by one R<sub>3</sub> group and one R group;

20 or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,

25 hydroxyl,

alkyl,  
 alkenyl,  
 haloalkyl,  
 alkoxy,  
 5 alkylthio, and  
 $-N(R_9)_2$ ;

$R_2$  is selected from the group consisting of:

$-R_4$ ,  
 $-X'-R_4$ ,  
 10  $-X'-Y-R_4$ , and  
 $-X'-R_5$ ;

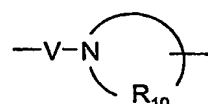
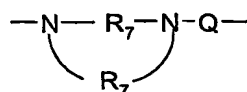
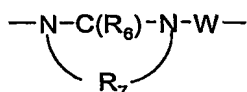
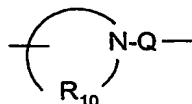
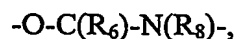
$R_3$  is selected from the group consisting of:

$-Z-R_4$ ,  
 $-Z-X'-R_4$ ,  
 15  $-Z-X'-Y-R_4$ , and  
 $-Z-X'-R_5$ ;

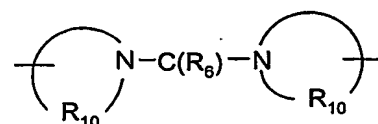
each  $X'$  is independently selected from the group consisting of alkylene,  
 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the  
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or  
 20 terminated with arylene, heteroarylene, or heterocyclylene, and optionally  
 interrupted by one or more  $-O-$  groups;

each  $Y$  is independently selected from the group consisting of:

$-S(O)_{0-2}-$ ,  
 $-S(O)_2-N(R_8)-$ ,  
 25  $-C(R_6)-$ ,  
 $-C(R_6)-O-$ ,  
 $-O-C(R_6)-$ ,  
 $-O-C(O)-O-$ ,  
 $-N(R_8)-Q-$ ,  
 30  $-C(R_6)-N(R_8)-$ ,



, and

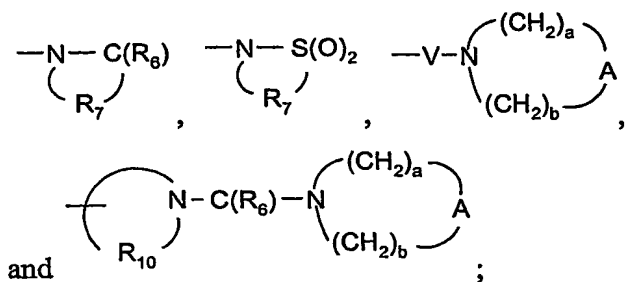


;

Z is a bond or -O-;

each  $R_4$  is independently selected from the group consisting of hydrogen,  
 10 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,  
 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 15 unsubstituted or substituted by one or more substituents independently selected from  
 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,  
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,  
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,  
 dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl,  
 20 and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one  
20 heteroatom;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0.2-</sub>, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

25 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

each V is independently selected from the group consisting of -C(R<sub>6</sub>)-,

-O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond,

5 -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤

7;

or a pharmaceutically acceptable salt thereof.

10 19. The compound or salt of claim 18 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

20. The compound or salt of claim 19 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

15

21. The compound or salt of any one of claims 18 through 20 wherein at least one of R' or R<sub>1</sub> is hydrogen.

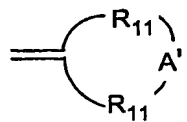
20 22. The compound or salt of any one of claims 18 through 20 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

23. The compound or salt of claim 22 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

25

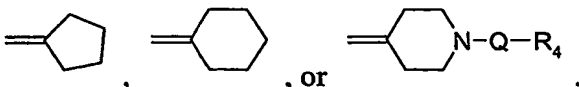
24. The compound or salt of claim 22 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

30 25. The compound or salt of any one of claims 18 through 20 wherein R<sub>1</sub> and R' join together to form a ring system of the formula



, wherein A' is -N(-Q-R<sub>4</sub>)- or -CH<sub>2</sub>-, Q is a bond or -C(O)-, and R<sub>4</sub> is alkyl.

26. The compound or salt of claim 25 wherein the ring system is



27. The compound or salt of any one of claims 18 through 20 wherein R<sub>1</sub> and R' are each methyl.

28. The compound or salt of any one of claims 18 through 27 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-; and R<sub>4</sub> is alkyl.

29. The compound or salt of claim 28 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

30. The compound or salt of claim 29 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

31. The compound or salt of any one of claims 18 through 27 wherein R<sub>2</sub> is selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,



heteroaryl,  
heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
5 alkylene-Y"-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

hydroxyl,  
halogen,  
10 -N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
15 heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

wherein:

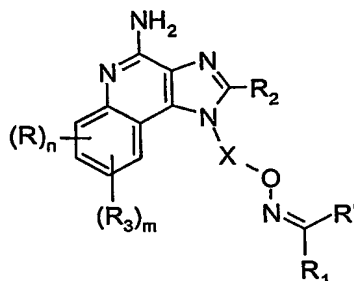
20 Y" is -O- or -S(O)<sub>0-2</sub>; and

each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

32. The compound or salt of any one of claims 18 through 31 wherein R<sub>A</sub> and  
25 R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring  
or heteroaryl ring is unsubstituted.

33. The compound or salt of any one of claims 18 through 31 wherein R<sub>A</sub> and  
R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one N,  
30 wherein the saturated ring is unsubstituted.

34. A compound of the formula (III):



III

5 wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

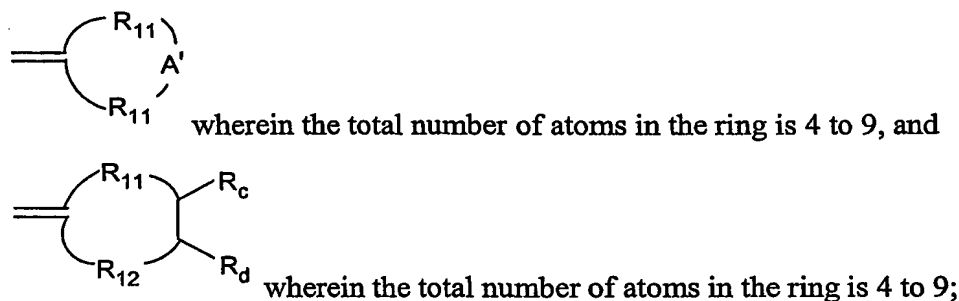
- 10 halogen,  
hydroxyl,  
alkyl,  
alkenyl,  
haloalkyl,  
15 alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

- 20 hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
25 heteroarylalkylenyl,

heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
5 selected from the group consisting of:  
hydroxyl,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
10 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
15 -NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
nitrile,  
nitro,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
25 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

or  $R_1$  and  $R'$  can join together to form a ring system selected from the group consisting of:



5  $R_2$  is selected from the group consisting of:

- $R_4$ ,  
 - $X'-R_4$ ,  
 - $X'-Y-R_4$ , and  
 - $X'-R_5$ ;

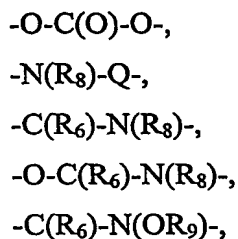
10  $R_3$  is selected from the group consisting of:

- $Z-R_4$ ,  
 - $Z-X'-R_4$ ,  
 - $Z-X'-Y-R_4$ , and  
 - $Z-X'-R_5$ ;

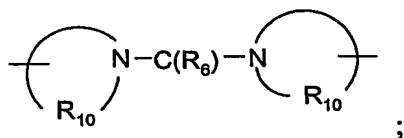
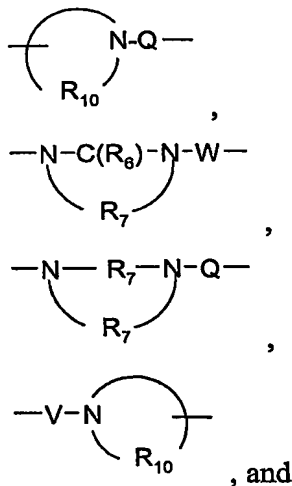
15 each  $X'$  is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

20 each  $Y$  is independently selected from the group consisting of:

- $S(O)_{0-2}$ -,  
 - $S(O)_2-N(R_8)$ -,  
 - $C(R_6)$ -,  
 - $C(R_6)-O$ -,  
 25 - $O-C(R_6)$ -;



5



10

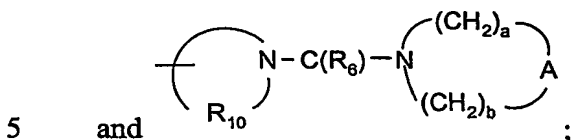
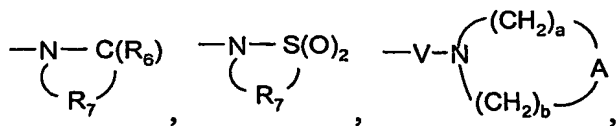
Z is a bond or  $-O-$ ;

each  $R_4$  is independently selected from the group consisting of hydrogen,  
 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,  
 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 unsubstituted or substituted by one or more substituents independently selected from  
 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,  
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,  
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,

20

dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



each  $R_6$  is independently selected from the group consisting of =O and =S;

each  $R_7$  is independently  $C_{2-7}$  alkylene;

each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

10 each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

15  $R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-\text{N(R}_9\text{)}_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

20 each  $R_{11}$  is independently  $C_{1-6}$  alkylene or  $C_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

25 each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0.2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0.2</sub>-, -N(-Q-R<sub>4</sub>)-, and

-CH<sub>2</sub>-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

5 each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

10 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 4; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

or a pharmaceutically acceptable salt thereof.

15 35. The compound or salt of claim 34 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

36. The compound or salt of claim 35 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

20

37. The compound or salt of any one of claims 34 through 36 wherein at least one of R' or R<sub>1</sub> is hydrogen.

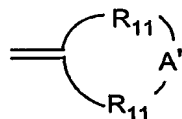
25 38. The compound or salt of any one of claims 34 through 36 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

39. The compound or salt of claim 38 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

30

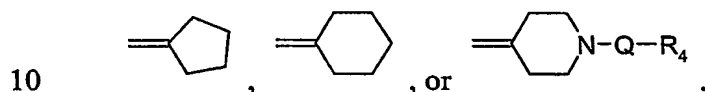
40. The compound or salt of claim 38 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

41. The compound or salt of any one of claims 34 through 36 wherein R<sub>1</sub> and R'  
5 join together to form a ring system of the formula



, wherein A' is -N(-Q-R<sub>4</sub>)- or -CH<sub>2</sub>-, Q is a bond or -C(O)-, and R<sub>4</sub> is alkyl.

42. The compound or salt of claim 41 wherein the ring system is



43. The compound or salt of any one of claims 34 through 36 wherein R<sub>1</sub> and R' are each methyl.

44. The compound or salt of any one of claims 34 through 43 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-; and R<sub>4</sub> is alkyl.

45. The compound or salt of claim 44 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

46. The compound or salt of claim 45 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl,  
25 and methoxymethyl.



47. The compound or salt of any one of claims 34 through 43 wherein R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
5 alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
alkylene-Y''-alkyl,  
10 alkylene-Y''-alkenyl,  
alkylene-Y''-aryl, and  
alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

hydroxyl,  
15 halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

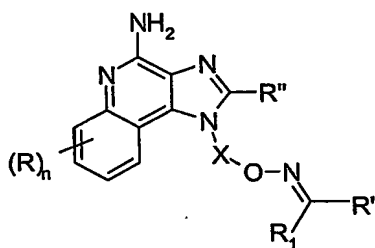
25 wherein:

Y'' is -O- or -S(O)<sub>0-2</sub>; and  
each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

48. The compound or salt of any one of claims 34 through 47 wherein m and n are each 0.

49. The compound or salt of any one of claims 34 through 47 wherein m is 1, and R<sub>3</sub> is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl, 2-ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or 3-(*N,N*-dimethylaminocarbonyl)phenyl.

50. A compound of the formula (IV):



IV

wherein:

X is selected from the group consisting of  $-\text{CH}(\text{R}_{9a})\text{-alkylene-}$  and  $-\text{CH}(\text{R}_{9a})\text{-alkenylene-}$ ;

15 R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

20 alkylene-aryl,

heteroaryl,

heterocyclyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl or heterocyclyl

substituted by one or more substituents selected from the group consisting of:

25 hydroxyl,

alkyl,  
 haloalkyl,  
 hydroxyalkyl,  
 -O-alkyl,  
 5 -S-alkyl,  
 -O-haloalkyl,  
 halogen,  
 nitrile,  
 aryl,  
 10 heteroaryl,  
 heterocyclyl,  
 -O-aryl,  
 -O-alkylene-aryl,  
 -C(O)-O-alkyl,  
 15 -C(O)-N(R<sub>8a</sub>)<sub>2</sub>, and  
 -N(R<sub>8a</sub>)-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system containing one or two saturated or unsaturated rings optionally including one or more heteroatoms;

n is an integer from 0 to 4;

20 each R and R" are independently selected from the group consisting of hydrogen and non-interfering substituents;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups; and

25 each R<sub>8a</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;  
 or a pharmaceutically acceptable salt thereof.

51. The compound or salt of claim 50 wherein X is -CH(R<sub>9a</sub>)-C<sub>1-5</sub>alkylene-.

30 52. The compound or salt of claim 51 wherein X is propylene or butylene.

53. The compound or salt of any one of claims 50 through 52 wherein at least one of R' or R<sub>1</sub> is hydrogen.
- 5 54. The compound or salt of any one of claims 50 through 52 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.
55. The compound or salt of claim 54 wherein at least one of R' or R<sub>1</sub> is aryl or  
10 substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.
56. The compound or salt of claim 54 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.
- 15 57. The compound or salt of any one of claims 50 through 52 wherein R<sub>1</sub> and R' join together to form a ring system.
58. The compound or salt of claim 57 wherein the ring system is optionally substituted by one or more substituents selected from the group consisting of alkyl,  
20 aryl, alkylene-aryl, and -C(O)-alkyl.
59. The compound or salt of any one of claims 50 through 58 wherein each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl.
- 25 60. The compound or salt of any one of claims 50 through 58 wherein n is 0.
61. The compound or salt of any one of claims 50 through 60 wherein R" is selected from the group consisting of:  
30 hydrogen,

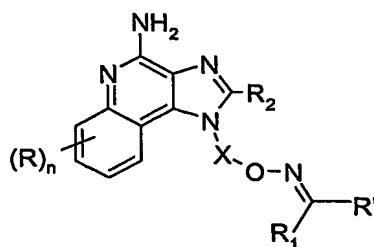
5                   alkyl,  
                   alkenyl,  
                   aryl,  
                   heteroaryl,  
                   heterocyclyl,  
                   alkylene-Y"-alkyl,  
                   alkylene-Y"-alkenyl,  
                   alkylene-Y"-aryl, and  
                   alkyl or alkenyl substituted by one or more substituents selected from  
 10           the group consisting of:  
                   hydroxyl,  
                   halogen,  
                   -N(R<sub>8a</sub>)<sub>2</sub>,  
                   -C(O)-C<sub>1-10</sub> alkyl,  
 15                   -C(O)-O-C<sub>1-10</sub> alkyl,  
                   -N<sub>3</sub>,  
                   aryl,  
                   heteroaryl,  
                   heterocyclyl,  
 20                   -C(O)-aryl, and  
                   -C(O)-heteroaryl;

wherein:

                  Y" is -O- or -S(O)<sub>0-2</sub>-; and  
                   each R<sub>8a</sub> is independently selected from the group consisting of  
 25   hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

62.   The compound or salt of claim 61 wherein R" is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

63. A compound of the formula (V):



V

wherein:

5 X is selected from the group consisting of  $-\text{CH}(\text{R}_{9a})$ -alkylene- and  $-\text{CH}(\text{R}_{9a})$ -alkenylene-;

$\text{R}_1$  and  $\text{R}'$  are independently selected from the group consisting of:

hydrogen,  
alkyl,  
10 alkenyl,  
aryl,  
alkylene-aryl,  
heteroaryl,  
heterocyclyl, and  
15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl or heterocyclyl  
substituted by one or more substituents selected from the group consisting of:  
hydroxyl,  
alkyl,  
haloalkyl,  
20 hydroxyalkyl,  
-O-alkyl,  
-S-alkyl,  
-O-haloalkyl,  
halogen,  
25 nitrile,

aryl,  
 heteroaryl,  
 heterocyclyl,  
 -O-aryl,  
 5 -O-alkylene-aryl,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8a</sub>)<sub>2</sub>, and  
 -N(R<sub>8a</sub>)-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system containing one or two  
 10 saturated or unsaturated rings optionally including one or more heteroatoms;

n is an integer from 0 to 4;

each R is independently selected from the group consisting of alkyl, alkoxy,  
 halogen, hydroxyl, and trifluoromethyl;

R<sub>2</sub> is selected from the group consisting of:

15 hydrogen,  
 alkyl,  
 alkenyl,  
 aryl,  
 heteroaryl,  
 20 heterocyclyl,  
 alkylene-Y''-alkyl,  
 alkylene-Y''-alkenyl,  
 alkylene-Y''-aryl, and

25 alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:

hydroxyl,  
 halogen,  
 -N(R<sub>8a</sub>)<sub>2</sub>,  
 -C(O)-C<sub>1-10</sub> alkyl,  
 30 -C(O)-O-C<sub>1-10</sub> alkyl,

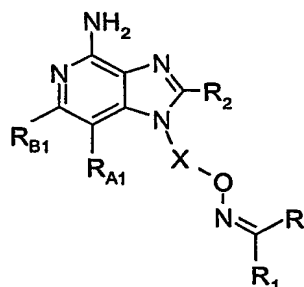
-N<sub>3</sub>,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 -C(O)-aryl, and  
 -C(O)-heteroaryl;

Y" is -O- or -S(O)<sub>0-2</sub>;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups; and

each R<sub>8a</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl; or a pharmaceutically acceptable salt thereof.

64. A compound of the formula (VI):



VI

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>1</sub> and R' are independently selected from the group consisting of:

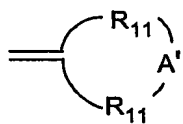
hydrogen,  
 alkyl,  
 alkenyl,  
 aryl,  
 arylalkylenyl,



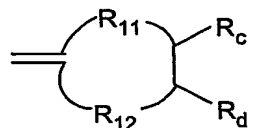
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
5 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
hydroxyl,  
alkyl,  
10 haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
15 -S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
20 nitrile,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
25 aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
30 -O-C(O)-alkyl, and

-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

R<sub>A1</sub> and R<sub>B1</sub> are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

alkenyl,

alkoxy,

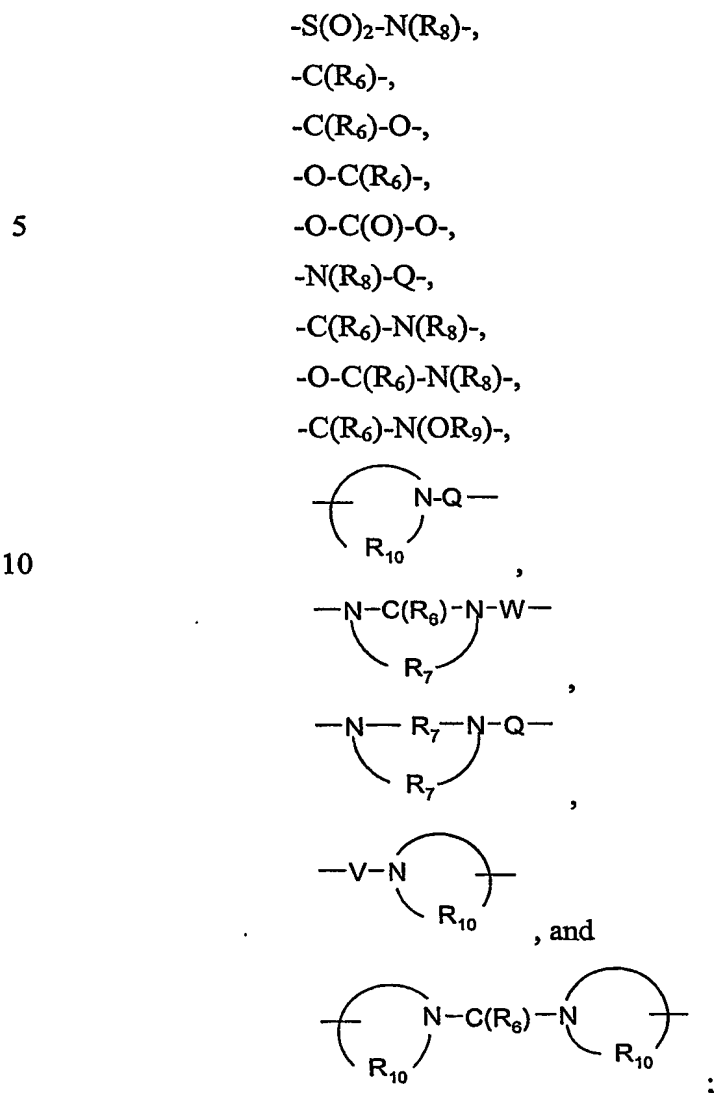
alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

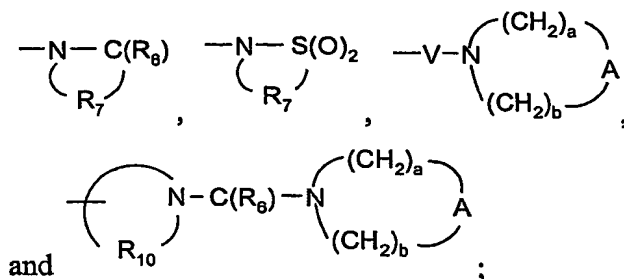
-S(O)<sub>0-2</sub>-



- 15 each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,
- 20 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,

nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

5  $R_5$  is selected from the group consisting of:



each  $R_6$  is independently selected from the group consisting of =O and =S;

each  $R_7$  is independently  $C_{2-7}$  alkylene;

10 each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is  
15 optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-\text{N(R}_9\text{)}_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring  
20 containing one to four heteroatoms;

each  $R_{11}$  is independently  $C_{1-6}$  alkylene or  $C_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one  
25 heteroatom;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and

-N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

each Q is independently selected from the group consisting of a bond,  
 5 -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond,  
 10 -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

15 65. The compound or salt of claim 64 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

66. The compound or salt of claim 65 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

20

67. The compound or salt of any one of claims 64 through 66 wherein at least one of R' or R<sub>1</sub> is hydrogen.

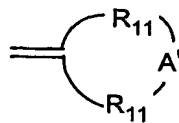
25 68. The compound or salt of any one of claims 64 through 66 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

69. The compound or salt of claim 68 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

30

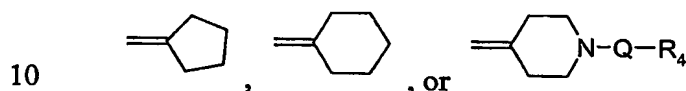
70. The compound or salt of claim 68 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

71. The compound or salt of any one of claims 64 through 66 wherein R<sub>1</sub> and R' join together to form a ring system of the formula



, wherein A' is -N(-Q-R<sub>4</sub>)- or -CH<sub>2</sub>-, Q is a bond or -C(O)-, and R<sub>4</sub> is alkyl.

72. The compound or salt of claim 71 wherein the ring system is



73. The compound or salt of any one of claims 64 through 66 wherein R<sub>1</sub> and R' are each methyl.

74. The compound or salt of any one of claims 64 through 73 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-; and R<sub>4</sub> is alkyl.

75. The compound or salt of claim 74 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

76. The compound or salt of claim 75 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

77. The compound or salt of any one of claims 64 through 73 wherein R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
5 alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
alkylene-Y''-alkyl,  
10 alkylene-Y''-alkenyl,  
alkylene-Y''-aryl, and  
alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

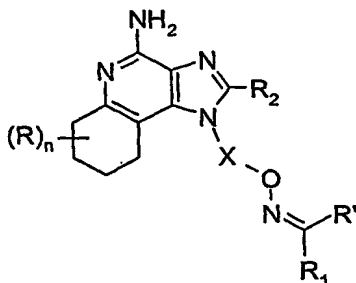
hydroxyl,  
15 halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

25 wherein:

Y'' is -O- or -S(O)<sub>0-2</sub>; and  
each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

78. The compound or salt of any one of claims 64 through 77 wherein  $R_{A1}$  and  $R_{B1}$  are each methyl.

79. A compound of the formula (VII):



VII

wherein:

X is selected from the group consisting of  $-CH(R_{9a})$ -alkylene- and  $-CH(R_{9a})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more  $-O-$  groups;

each R is independently selected from the group consisting of:

halogen,

hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

$R_1$  and  $R'$  are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

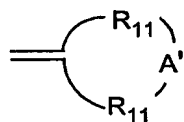
arylalkylenyl,



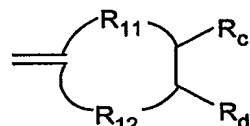
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
5 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
hydroxyl,  
alkyl,  
10 haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
15 -S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
20 nitrile,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
25 aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
30 -O-C(O)-alkyl, and

-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

5

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>, and

10

-X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-

15

groups;

Y is selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

20

-C(R<sub>6</sub>)-O-,

-O-C(R<sub>6</sub>)-,

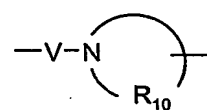
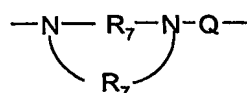
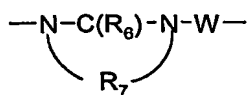
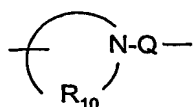
-O-C(O)-O-,

-N(R<sub>8</sub>)-Q-,

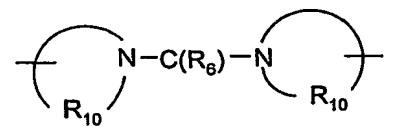
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

25

-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,



, and



:

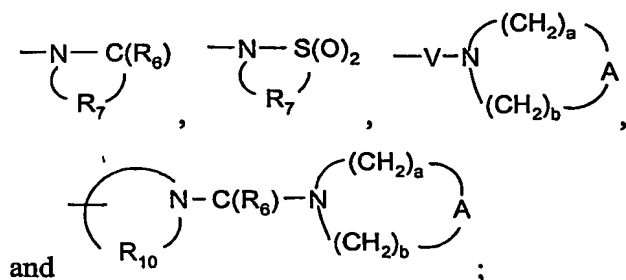
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15

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

**R<sub>5</sub> is selected from the group consisting of:**



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

15 R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

20 R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

25 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

5 each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

10

80. The compound or salt of claim 79 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

15

81. The compound or salt of claim 80 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

82. The compound or salt of any one of claims 79 through 81 wherein at least one of R' or R<sub>1</sub> is hydrogen.

20

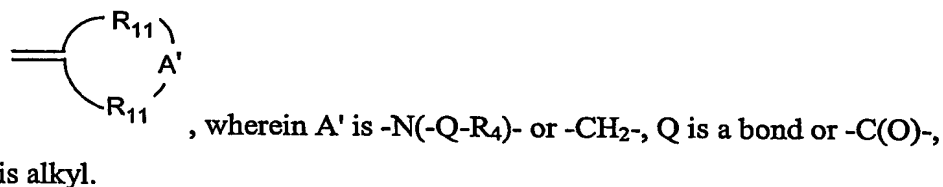
83. The compound or salt of any one of claims 79 through 81 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

25

84. The compound or salt of claim 83 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

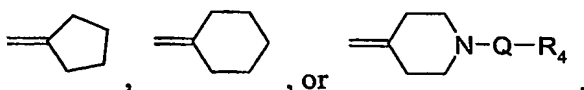
85. The compound or salt of claim 83 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

86. The compound or salt of any one of claims 79 through 81 wherein  $R_1$  and  $R'$  join together to form a ring system of the formula



5

87. The compound or salt of claim 86 wherein the ring system is



88. The compound or salt of any one of claims 79 through 81 wherein  $R_1$  and  $R'$  are each methyl.

10

89. The compound or salt of any one of claims 79 through 88 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is  $-S(O)_{0-2}-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-$ ,  $-C(R_6)-O-$ ,  $-O-C(R_6)-$ ,  $-O-C(O)-O-$ ,  $-N(R_8)-Q-$ ,  $-C(R_6)-N(R_8)-$ ,  $-O-C(R_6)-N(R_8)-$ , or  $-C(R_6)-N(OR_9)-$ ; and  $R_4$  is alkyl.

15

90. The compound or salt of claim 89 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20

91. The compound or salt of claim 90 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

25

92. The compound or salt of any one of claims 79 through 88 wherein  $R_2$  is selected from the group consisting of:

hydrogen,  
alkyl,

5                   alkenyl,  
                   aryl,  
                   heteroaryl,  
                   heterocyclyl,  
                   alkylene-Y"-alkyl,  
                   alkylene-Y"-alkenyl,  
                   alkylene-Y"-aryl, and  
                   alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:

10                   hydroxyl,  
                   halogen,  
                   -N(R<sub>8a</sub>)<sub>2</sub>,  
                   -C(O)-C<sub>1-10</sub> alkyl,  
                   -C(O)-O-C<sub>1-10</sub> alkyl,  
 15                   -N<sub>3</sub>,  
                   aryl,  
                   heteroaryl,  
                   heterocyclyl,  
                   -C(O)-aryl, and  
 20                   -C(O)-heteroaryl;

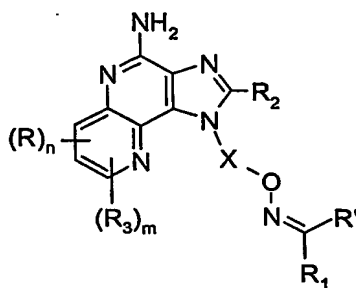
wherein:

                  Y" is -O- or -S(O)<sub>0-2</sub>; and  
                   each R<sub>8a</sub> is independently selected from the group consisting of  
 hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

25

93. The compound or salt of any one of claims 79 through 92 wherein n is 0.

94. A compound of the formula (VIII):



VIII

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 5 -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

halogen,  
 hydroxyl,  
 10 alkyl,  
 alkenyl,  
 haloalkyl,  
 alkoxy,  
 alkylthio, and  
 15 -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

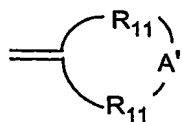
hydrogen,  
 alkyl,  
 alkenyl,  
 20 aryl,  
 arylalkylenyl,  
 heteroaryl,  
 heteroarylalkylenyl,  
 heterocyclyl,  
 25 heterocyclylalkylenyl, and



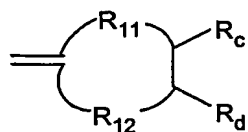
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

- 5 hydroxyl,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
10 -S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
15 halogen,  
nitrile,  
nitro,  
aryl,  
heteroaryl,  
20 heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
25 -N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>2</sub> is selected from the group consisting of:

- 5
- R<sub>4</sub>,
  - X'-R<sub>4</sub>,
  - X'-Y-R<sub>4</sub>, and
  - X'-R<sub>5</sub>;

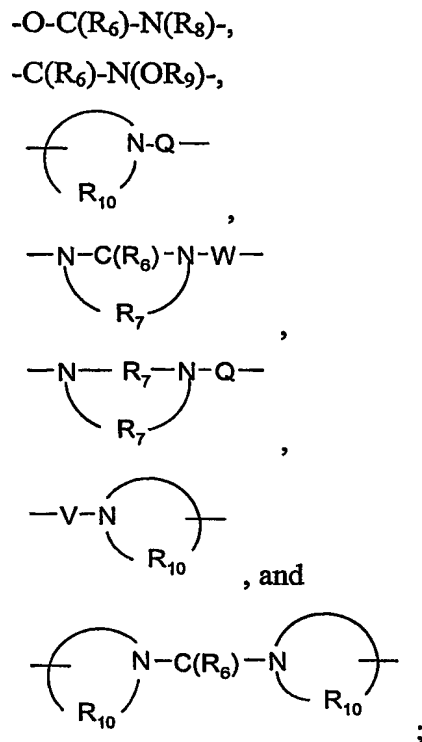
R<sub>3</sub> is selected from the group consisting of:

- 10
- Z-R<sub>4</sub>,
  - Z-X'-R<sub>4</sub>,
  - Z-X'-Y-R<sub>4</sub>, and
  - Z-X'-R<sub>5</sub>;

15 each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

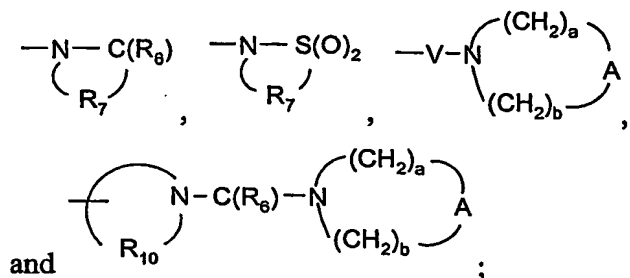
- 20
- S(O)<sub>0-2</sub>-,
  - S(O)<sub>2</sub>-N(R<sub>8</sub>)-,
  - C(R<sub>6</sub>)-,
  - C(R<sub>6</sub>)-O-,
  - O-C(R<sub>6</sub>)-,
  - O-C(O)-O-,
  - 25 -N(R<sub>8</sub>)-Q-,
  - C(R<sub>6</sub>)-N(R<sub>8</sub>)-,



Z is a bond or -O-;

each  $R_4$  is independently selected from the group consisting of hydrogen,  
 10 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,  
 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 15 unsubstituted or substituted by one or more substituents independently selected from  
 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,  
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,  
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,  
 dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl,  
 20 and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring  
15 containing one to four heteroatoms;

each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one  
20 heteroatom;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

25 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond,  
5 -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

10 or a pharmaceutically acceptable salt thereof.

95. The compound or salt of claim 94 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

15 96. The compound or salt of claim 95 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

97. The compound or salt of any one of claims 94 through 96 wherein at least one of R' or R<sub>1</sub> is hydrogen.

20

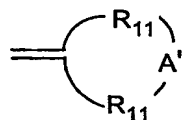
98. The compound or salt of any one of claims 94 through 96 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

25 99. The compound or salt of claim 98 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

100. The compound or salt of claim 98 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

30

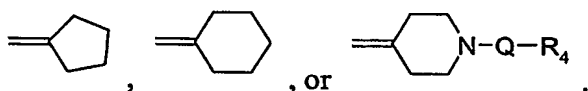
101. The compound or salt of any one of claims 94 through 96 wherein  $R_1$  and  $R'$  join together to form a ring system of the formula



, wherein  $A'$  is  $-N(-Q-R_4)-$  or  $-CH_2-$ ,  $Q$  is a bond or  $-C(O)-$ , and  $R_4$  is alkyl.

5

102. The compound or salt of claim 101 wherein the ring system is



103. The compound or salt of any one of claim 94 through 96 wherein  $R_1$  and  $R'$  are each methyl.

10

104. The compound or salt of any one of claims 94 through 103 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is  $-S(O)_{0-2}-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-$ ,  $-C(R_6)-O-$ ,  $-O-C(R_6)-$ ,  $-O-C(O)-O-$ ,  $-N(R_8)-Q-$ ,  $-C(R_6)-N(R_8)-$ ,  $-O-C(R_6)-N(R_8)-$ , or  $-C(R_6)-N(OR_9)-$ ; and  $R_4$  is alkyl.

15

105. The compound or salt of claim 104 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20

106. The compound or salt of claim 105 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

25

107. The compound or salt of any one of claims 94 through 103 wherein  $R_2$  is selected from the group consisting of:

hydrogen,  
alkyl,

alkenyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 5 alkylene-Y"-alkyl,  
 alkylene-Y"-alkenyl,  
 alkylene-Y"-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:

10 hydroxyl,  
 halogen,  
 $-N(R_{8a})_2$ ,  
 $-C(O)-C_{1-10}$  alkyl,  
 $-C(O)-O-C_{1-10}$  alkyl,  
 15  $-N_3$ ,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 $-C(O)-aryl$ , and  
 20  $-C(O)-heteroaryl$ ;

wherein:

Y" is  $-O-$  or  $-S(O)_{0-2}-$ ; and

each  $R_{8a}$  is independently selected from the group consisting of  
 hydrogen,  $C_{1-10}$  alkyl, and  $C_{2-10}$  alkenyl.

25

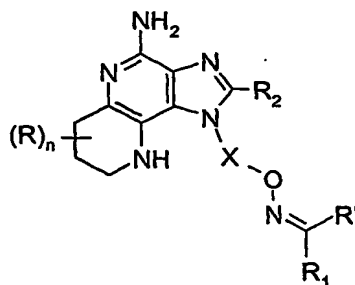
108. The compound or salt of any one of claims 94 through 107 wherein m and n  
 are each 0.

30

109. The compound or salt of any one of claims 94 through 107 wherein m is 1,  
 and  $R_3$  is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl, 2-

ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or 3-(*N,N*-dimethylaminocarbonyl)phenyl.

110. A compound of the formula (IX):



IX

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

halogen,  
hydroxyl,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

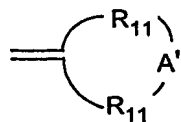
hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,



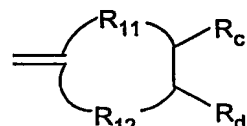
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
5 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
hydroxyl,  
alkyl,  
10 haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
15 -S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
20 nitrile,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
25 aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
30 -O-C(O)-alkyl, and

-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-

Y is selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

-C(R<sub>6</sub>)-O-,

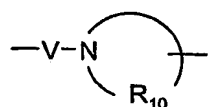
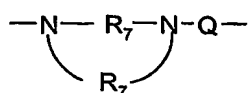
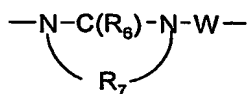
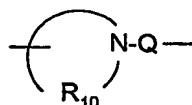
-O-C(R<sub>6</sub>)-,

-O-C(O)-O-,

-N(R<sub>8</sub>)-Q-,

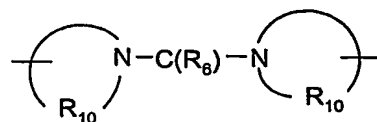
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,



5

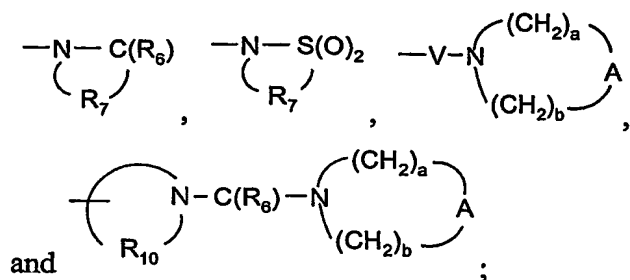
, and



each  $R_4$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

15

$R_5$  is selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

15 R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

20 R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

25 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

5 each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

10

111. The compound or salt of claim 110 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

112. The compound or salt of claim 111 wherein X is -C<sub>3-5</sub> alkylene- or  
15 -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

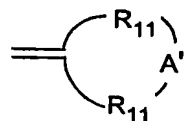
113. The compound or salt of any one of claims 110 through 112 wherein at least one of R' or R<sub>1</sub> is hydrogen.

20 114. The compound or salt of any one of claims 110 through 112 wherein at least one of R' or R<sub>1</sub> is selected from the group consisting of aryl, heteroaryl, and alkyl, wherein the aryl, heteroaryl, and alkyl are optionally substituted.

25 115. The compound or salt of claim 114 wherein at least one of R' or R<sub>1</sub> is aryl or substituted aryl and at least one of R' or R<sub>1</sub> is hydrogen.

116. The compound or salt of claim 114 wherein at least one of R' or R<sub>1</sub> is heteroaryl or substituted heteroaryl and at least one of R' or R<sub>1</sub> is hydrogen.

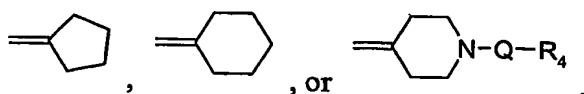
117. The compound or salt of any one of claims 110 through 112 wherein  $R_1$  and  $R'$  join together to form a ring system of the formula



, wherein  $A'$  is  $-N(-Q-R_4)-$  or  $-CH_2-$ ,  $Q$  is a bond or  $-C(O)-$ , and  $R_4$  is alkyl.

5

118. The compound or salt of claim 117 wherein the ring system is



119. The compound or salt of any one of claims 110 through 112 wherein  $R_1$  and  $R'$  are each methyl.

10

120. The compound or salt of any one of claims 110 through 119 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is  $-S(O)_{0-2}-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-$ ,  $-C(R_6)-O-$ ,  $-O-C(R_6)-$ ,  $-O-C(O)-O-$ ,  $-N(R_8)-Q-$ ,  $-C(R_6)-N(R_8)-$ ,  $-O-C(R_6)-N(R_8)-$ , or  $-C(R_6)-N(OR_9)-$ ; and  $R_4$  is alkyl.

15

121. The compound or salt of claim 120 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

122. The compound or salt of claim 121 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

20

123. The compound or salt of any one of claims 110 through 119 wherein  $R_2$  is selected from the group consisting of:

25

hydrogen,  
alkyl,

alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
5 alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

10 hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
15 -N<sub>3</sub>,  
aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
20 -C(O)-heteroaryl;

wherein:

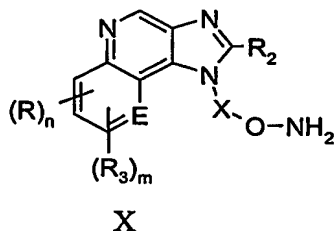
Y" is -O- or -S(O)<sub>0-2</sub>-; and

each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

25

124. The compound or salt of any one of claims 110 through 123 wherein n is 0.

125. A compound of the formula (X):



wherein:

E is selected from the group consisting of CH, CR, CR<sub>3</sub>, and N, with the proviso that when E is CR<sub>3</sub>, m is 0, and n is 0 or 1, and with the further proviso that when E is CR and m is 1, n is 0;

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

n is an integer from 0 to 3;

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

each R is independently selected from the group consisting of:

halogen,

hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

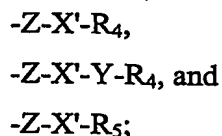
-X'-Y-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

R<sub>3</sub> is selected from the group consisting of:

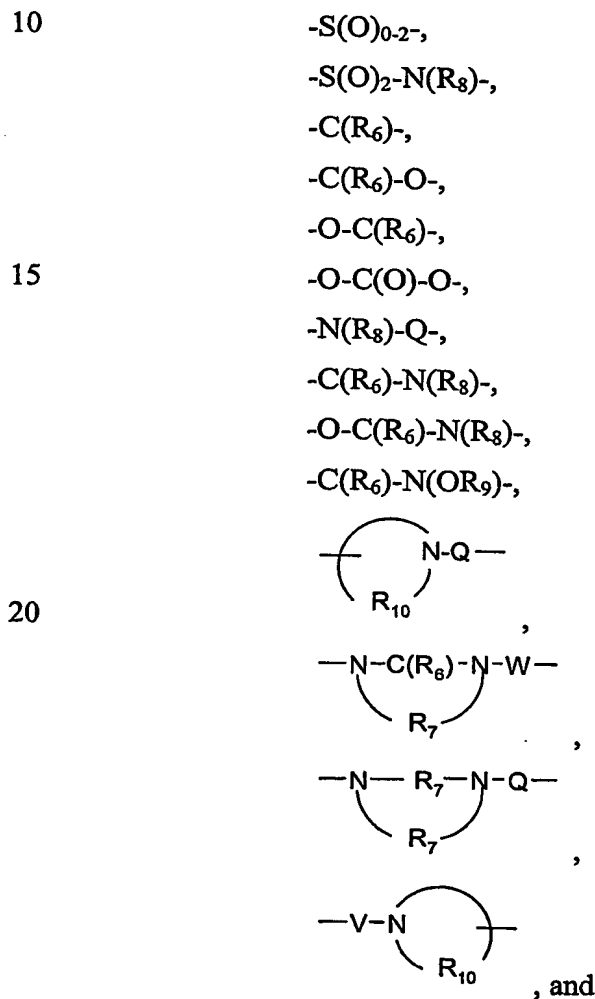
-Z-R<sub>4</sub>,

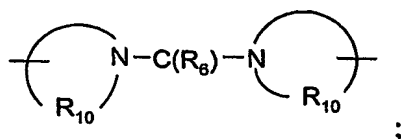




5 each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

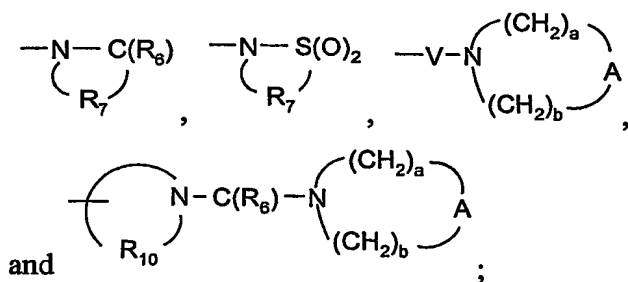




**Z is a bond or -O-;**

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

15 each  $R_5$  is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

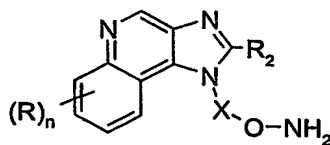
each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

20 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

- $R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;  
 each  $R_{10}$  is independently  $C_{3-8}$  alkylene;  
 each A is independently selected from the group consisting of -O-, -C(O)-,  
 5 -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;  
 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;  
 each V is independently selected from the group consisting of -C(R<sub>6</sub>)-,  
 10 -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;  
 each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and  
 a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
 15 or a pharmaceutically acceptable salt thereof.

126. A compound of the formula (XI):

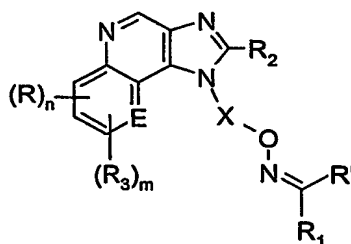


XI

- 20 wherein:  
 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-;  
 n is an integer from 0 to 4;  
 each R is independently selected from the group consisting of alkyl, alkoxy,  
 25 halogen, hydroxyl, and trifluoromethyl;  
 $R_2$  is selected from the group consisting of:  
 hydrogen,

- alkyl,  
 alkenyl,  
 aryl,  
 heteroaryl,  
 5 heterocyclyl,  
 alkylene-Y"-alkyl,  
 alkylene-Y"-alkenyl,  
 alkylene-Y"-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected from  
 10 the group consisting of:  
     hydroxyl,  
     halogen,  
     -N(R<sub>8a</sub>)<sub>2</sub>,  
     -C(O)-C<sub>1-10</sub> alkyl,  
 15 -C(O)-O-C<sub>1-10</sub> alkyl,  
     -N<sub>3</sub>,  
     aryl,  
     heteroaryl,  
     heterocyclyl,  
 20 -C(O)-aryl, and  
     -C(O)-heteroaryl;  
 Y" is -O- or -S(O)<sub>0-2</sub>;  
 each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
 C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl; and  
 25 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
 be optionally interrupted by one or more -O- groups;  
 or a pharmaceutically acceptable salt thereof.

127. A compound of the formula (XII):



XII

wherein:

5 E is selected from the group consisting of CH, CR, CR<sub>3</sub>, and N, with the proviso that when E is CR<sub>3</sub>, m is 0, and n is 0 or 1, and with the further proviso that when E is CR and m is 1, n is 0;

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 10 -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

halogen,  
 hydroxyl,  
 alkyl,  
 15 alkenyl,  
 haloalkyl,  
 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

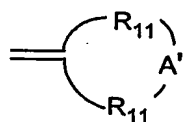
20 R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,  
 alkyl,  
 alkenyl,  
 aryl,  
 25 arylalkylenyl,

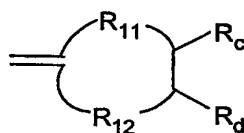
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
5 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:  
hydroxyl,  
alkyl,  
10 haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
15 -S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
20 nitrile,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
25 aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
30 -O-C(O)-alkyl, and

-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

R<sub>3</sub> is selected from the group consisting of:

-Z-R<sub>4</sub>,

-Z-X'-R<sub>4</sub>,

-Z-X'-Y-R<sub>4</sub>, and

-Z-X'-R<sub>5</sub>;

each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

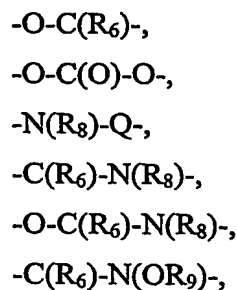
each Y is independently selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

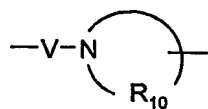
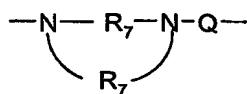
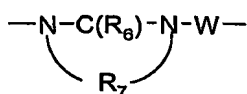
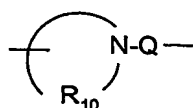
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

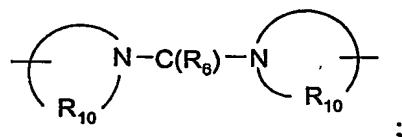
-C(R<sub>6</sub>)-O-,



5



10



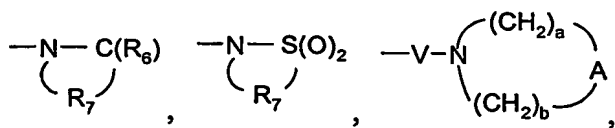
Z is a bond or  $-O-$ ;

each  $R_4$  is independently selected from the group consisting of hydrogen,  
 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,  
 15 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 unsubstituted or substituted by one or more substituents independently selected from  
 20 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,  
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,  
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,

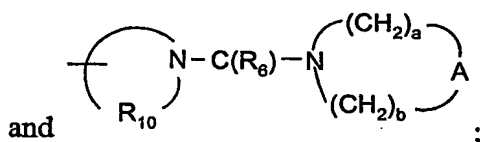


dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



5



each  $R_6$  is independently selected from the group consisting of =O and =S;

each  $R_7$  is independently  $C_{2-7}$  alkylene;

each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

10

each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

15

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-\text{N(R}_9\text{)}_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

20

each  $R_{11}$  is independently  $C_{1-6}$  alkylene or  $C_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

25

each A is independently selected from the group consisting of -O-, -C(O)-,  $-\text{CH}_2-$ ,  $-\text{S(O)}_{0-2}-$ , and  $-\text{N(R}_4\text{)}-$ ;

A' is selected from the group consisting of -O-,  $-\text{S(O)}_{0-2}-$ ,  $-\text{N}(-\text{Q-R}_4)-$ , and

-CH<sub>2</sub>-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

5 each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

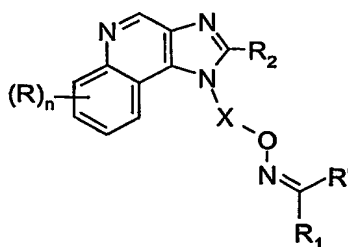
10 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

or a pharmaceutically acceptable salt thereof.

15 128. A compound of the formula (XIII):



XIII

wherein:

20 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-;

n is an integer from 0 to 4;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

25 R<sub>1</sub> and R' are independently selected from the group consisting of:  
hydrogen,

alkyl,  
alkenyl,  
aryl,  
alkylene-aryl,  
5 heteroaryl,  
heterocyclyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl or heterocyclyl  
substituted by one or more substituents selected from the group consisting of:  
hydroxyl,  
10 alkyl,  
haloalkyl,  
hydroxyalkyl,  
-O-alkyl,  
-S-alkyl,  
15 -O-haloalkyl,  
halogen,  
nitrile,  
aryl,  
heteroaryl,  
20 heterocyclyl,  
-O-aryl,  
-O-alkylene-aryl,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8a</sub>)<sub>2</sub>, and  
25 -N(R<sub>8a</sub>)-C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system containing one or two  
saturated or unsaturated rings optionally including one or more heteroatoms;

R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
30 alkyl,

alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
5 alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

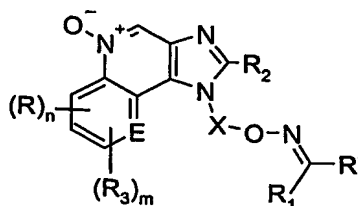
10 hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
15 -N<sub>3</sub>,  
aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
20 -C(O)-heteroaryl;

Y" is -O- or -S(O)<sub>0-2</sub>;

each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl; and

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
25 be optionally interrupted by one or more -O- groups;  
or a pharmaceutically acceptable salt thereof.

129. A compound of the formula (XIV):



XIV

wherein:

E is selected from the group consisting of CH, CR, CR<sub>3</sub>, and N, with the proviso that when E is CR<sub>3</sub>, m is 0, and n is 0 or 1, and with the further proviso that when E is CR and m is 1, n is 0;

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

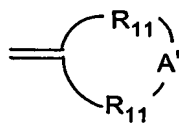
halogen,  
hydroxyl,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

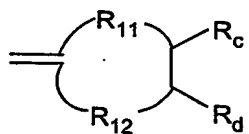
hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,

heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
5 selected from the group consisting of:  
hydroxyl,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
10 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
15 -NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
nitrile,  
nitro,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
25 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

or  $R_1$  and  $R'$  can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

5  $R_2$  is selected from the group consisting of:

- $R_4$ ,
- $X'-R_4$ ,
- $X'-Y-R_4$ , and
- $X'-R_5$ ;

10  $R_3$  is selected from the group consisting of:

- $Z-R_4$ ,
- $Z-X'-R_4$ ,
- $Z-X'-Y-R_4$ , and
- $Z-X'-R_5$ ;

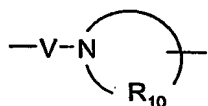
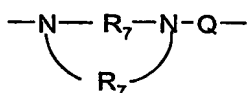
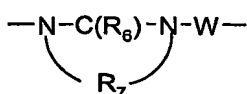
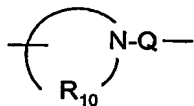
15 each  $X'$  is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

20 each  $Y$  is independently selected from the group consisting of:

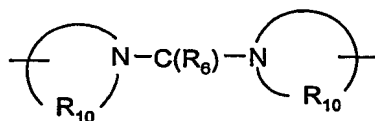
- $S(O)_{0-2}$ ,
- $S(O)_2-N(R_8)-$ ,
- $C(R_6)-$ ,
- $C(R_6)-O-$ ,
- $O-C(R_6)-$ ,

25

5  
 -O-C(O)-O-,  
 -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



, and



;

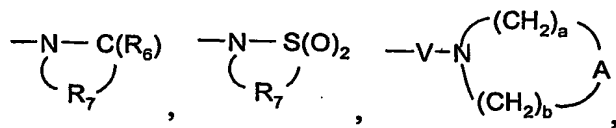
Z is a bond or -O-;

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,



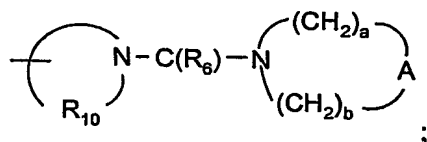
dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



5

and



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen,

C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

10

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

15

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

20 each R<sub>11</sub> is independently C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

**R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;**

25 each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

25

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and

-CH<sub>2</sub>-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

5 each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

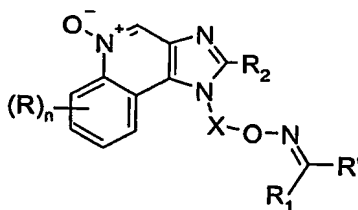
each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

10 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;  
or a pharmaceutically acceptable salt thereof.

15 130. A compound of the formula (XV):



XV

wherein:

20 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

n is an integer from 0 to 4;

25 R<sub>1</sub> and R' are independently selected from the group consisting of:  
hydrogen,  
alkyl,

alkenyl,  
aryl,  
alkylene-aryl,  
heteroaryl,  
5 heterocyclyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl or heterocyclyl  
substituted by one or more substituents selected from the group consisting of:

hydroxyl,  
alkyl,  
10 haloalkyl,  
hydroxyalkyl,  
-O-alkyl,  
-S-alkyl,  
-O-haloalkyl,  
15 halogen,  
nitrile,  
aryl,  
heteroaryl,  
heterocyclyl,  
20 -O-aryl,  
-O-alkylene-aryl,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8a</sub>)<sub>2</sub>, and  
-N(R<sub>8a</sub>)-C(O)-alkyl;

25 or R<sub>1</sub> and R' can join together to form a ring system containing one or two  
saturated or unsaturated rings optionally including one or more heteroatoms;

R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
30 alkenyl,

aryl,  
heteroaryl,  
heterocyclyl,  
alkylene-Y''-alkyl,  
5 alkylene-Y''-alkenyl,  
alkylene-Y''-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

hydroxyl,  
10 halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
15 aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl,

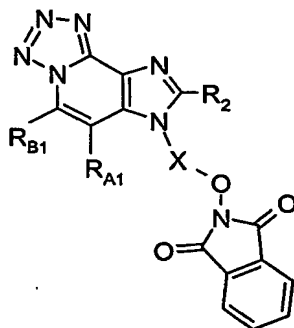
20 Y'' is -O- or -S(O)<sub>0-2</sub>;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
be optionally interrupted by one or more -O- groups; and

each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;

25 or a pharmaceutically acceptable salt thereof.

131. A compound of the formula (XVI):



XVI

5 wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>2</sub> is selected from the group consisting of:

- 10                   -R<sub>4</sub>,  
                       -X'-R<sub>4</sub>,  
                       -X'-Y-R<sub>4</sub>, and  
                       -X'-R<sub>5</sub>;

R<sub>A1</sub> and R<sub>B1</sub> are each independently selected from the group consisting of:

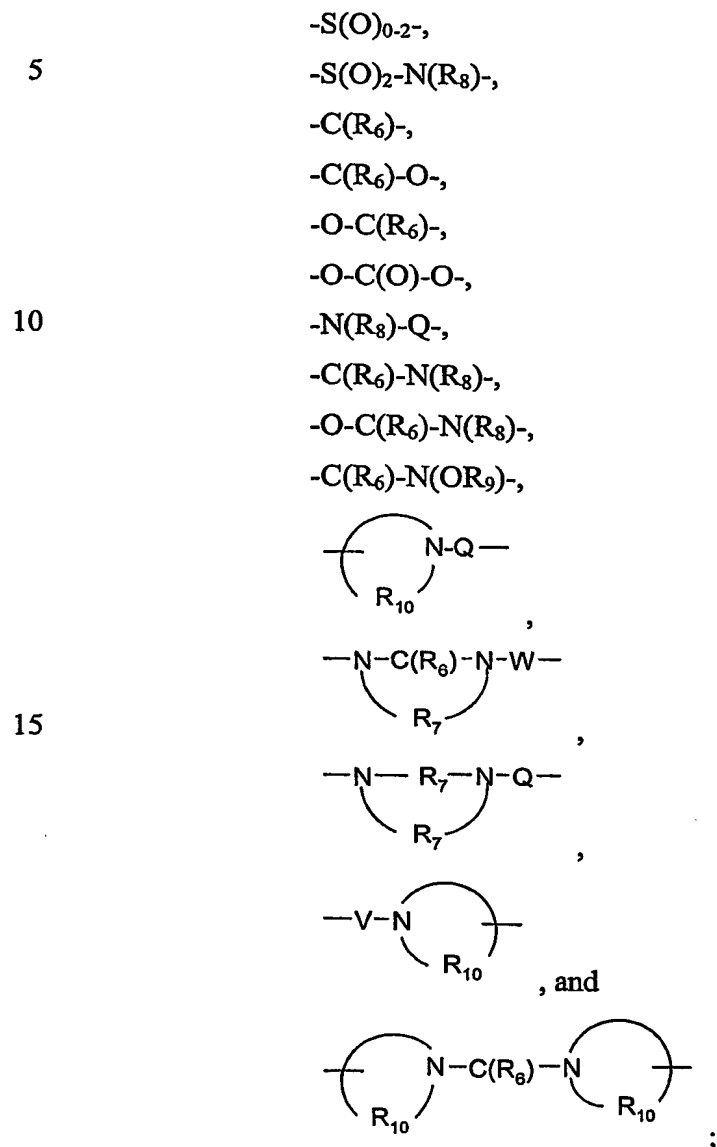
- 15                   hydrogen,  
                       halogen,  
                       alkyl,  
                       alkenyl,  
                       alkoxy,  

20                   alkylthio, and  
                       -N(R<sub>9</sub>)<sub>2</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene,

heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

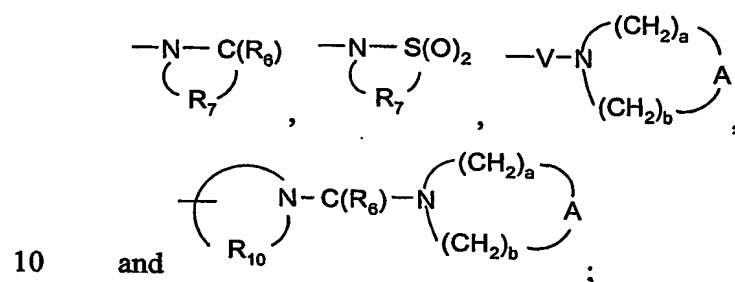


20

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,

heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



each  $R_6$  is independently selected from the group consisting of =O and =S;

each  $R_7$  is independently  $C_{2-7}$  alkylene;

each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond,

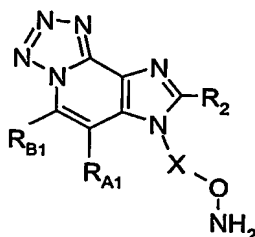
-C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

a pharmaceutically acceptable salt thereof.

5

132. A compound of the formula (XVII):



XVII

10 wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>2</sub> is selected from the group consisting of:

15

- R<sub>4</sub>,
- X'-R<sub>4</sub>,
- X'-Y-R<sub>4</sub>, and
- X'-R<sub>5</sub>;

R<sub>A1</sub> and R<sub>B1</sub> are each independently selected from the group consisting of:

20

- hydrogen,
- halogen,
- alkyl,
- alkenyl,
- alkoxy,
- alkylthio, and
- N(R<sub>9</sub>)<sub>2</sub>;

25



X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-

5

Y is selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

10

-C(R<sub>6</sub>)-O-,

-O-C(R<sub>6</sub>)-,

-O-C(O)-O-,

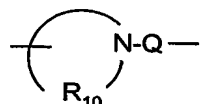
-N(R<sub>8</sub>)-Q-,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

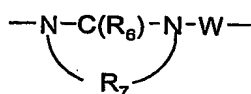
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-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

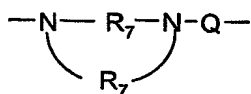
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



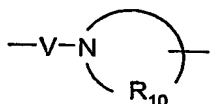
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,

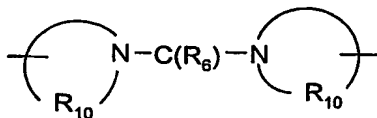


,



20

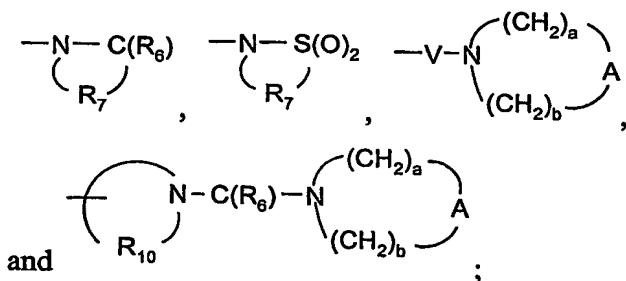
, and



;

$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



each  $R_6$  is independently selected from the group consisting of =O and =S;  
 each  $R_7$  is independently  $C_{2-7}$  alkylene;  
 each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;  
 each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N( $R_4$ )-;

Q is selected from the group consisting of a bond, -C( $R_6$ )-, -C( $R_6$ )-C( $R_6$ )-,

-S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

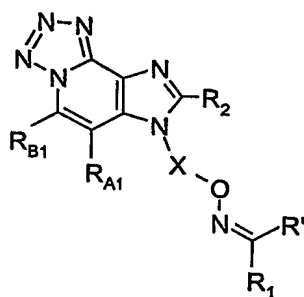
W is selected from the group consisting of a bond,

5 -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

133. A compound of the formula (XVIII):

10



XVIII

wherein:

15 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>A1</sub> and R<sub>B1</sub> are each independently selected from the group consisting of:

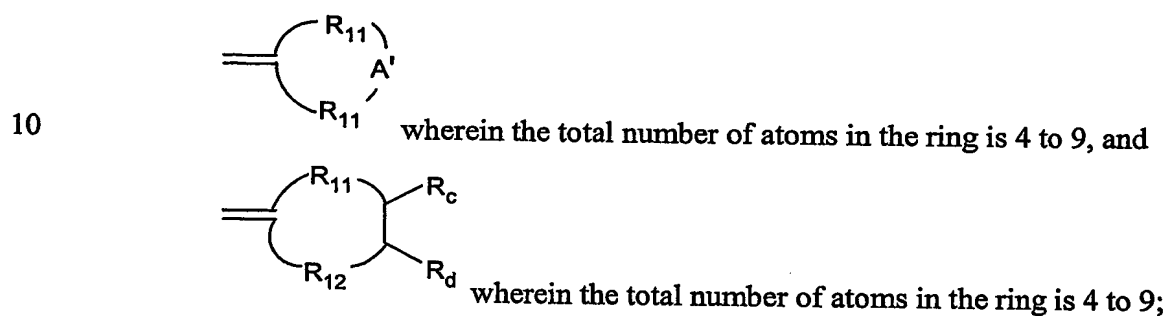
hydrogen,  
20 halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
25 -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

- hydrogen,  
alkyl,  
alkenyl,  
5 aryl,  
arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
10 heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
selected from the group consisting of:
- hydroxyl,  
15 alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
20 -S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
25 halogen,  
nitrile,  
nitro,  
aryl,  
heteroaryl,  
30 heterocyclyl,

- aryloxy,  
 arylalkyleneoxy,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-C(O)-alkyl, and  
 -C(O)-alkyl;

or R<sub>1</sub> and R' can join together to form a ring system selected from the group consisting of:



R<sub>2</sub> is selected from the group consisting of:

- R<sub>4</sub>,  
 -X'-R<sub>4</sub>,  
 -X'-Y-R<sub>4</sub>, and  
 -X'-R<sub>5</sub>;

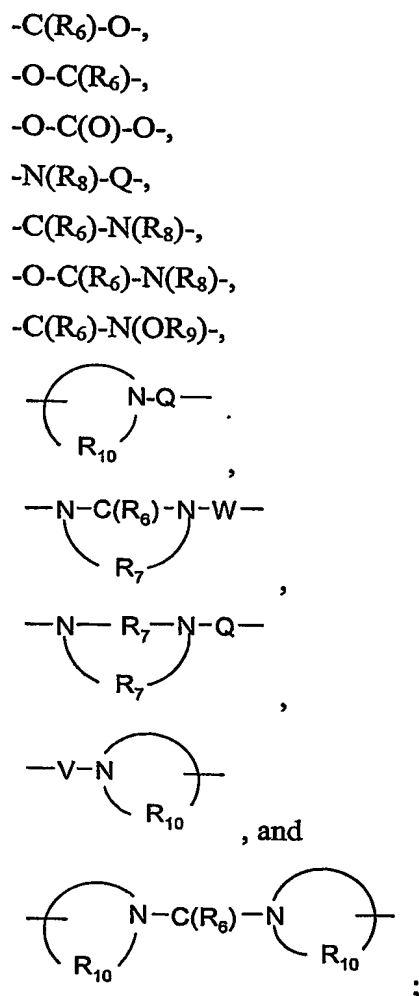
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

- S(O)<sub>0-2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,

5

10



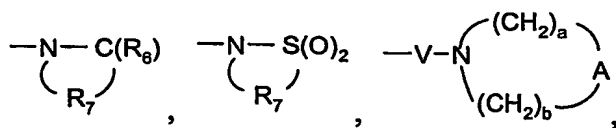
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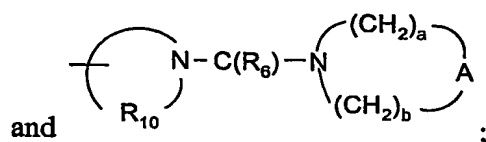
each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,

dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



5



each  $R_6$  is independently selected from the group consisting of =O and =S;

each  $R_7$  is independently  $C_{2-7}$  alkylene;

each  $R_8$  is independently selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

10 each  $R_9$  is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

15

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-\text{N(R}_9\text{)}_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

20 each  $R_{11}$  is independently  $C_{1-6}$  alkylene or  $C_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

25 A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N( $R_4$ )-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q- $R_4$ )-, and

-CH<sub>2</sub>-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

5 V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤  
10 7; or a pharmaceutically acceptable salt thereof.

134. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 124 in combination with a pharmaceutically acceptable carrier.

15

135. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 124 to the animal.

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136. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 124 to the animal.

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137. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 124 to the animal.